

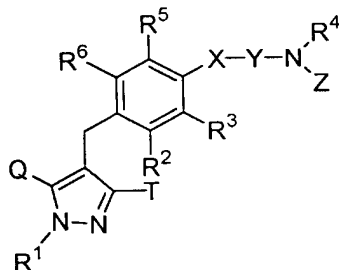
AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions and listings of claims in the application:

LISTING OF CLAIMS:

CLAIMS

1. (original): A pyrazole derivative represented by the general formula:



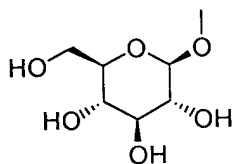
wherein

R^1 represents a hydrogen atom, a C_{1-6} alkyl group, a C_{2-6} alkenyl group, a hydroxy(C_{2-6} alkyl) group, a C_{3-7} cycloalkyl group, a C_{3-7} cycloalkyl-substituted (C_{1-6} alkyl) group, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C_{1-6} alkyl group and a C_{1-6} alkoxy group, or an aryl(C_{1-6} alkyl) group which may have the same or different 1 to 3 substituents selected from the group consisting

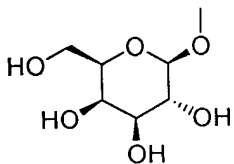
PRELIMINARY AMENDMENT

of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group on the ring;

one of Q and T represents a group represented by the formula:



or a group represented by the formula:



while the other represents a C₁₋₆ alkyl group, a halo(C₁₋₆ alkyl) group, a C₁₋₆ alkoxy-substituted (C₁₋₆ alkyl) group or a C₃₋₇ cycloalkyl group;

R² represents a hydrogen atom, a halogen atom, a hydroxy group, a C₁₋₆ alkyl group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylthio group, a halo(C₁₋₆ alkyl) group, a halo(C₁₋₆ alkoxy) group, a C₁₋₆ alkoxy-substituted (C₁₋₆ alkoxy) group, a C₃₋₇ cycloalkyl-substituted (C₂₋₆ alkoxy) group or -A-R^A in which A represents a single bond, an oxygen atom, a methylene group, an ethylene

PRELIMINARY AMENDMENT

group, $-\text{OCH}_2-$ or $-\text{CH}_2\text{O}-$; and R^{A} represents a C_3-7 cycloalkyl group, a C_2-6 heterocycloalkyl group, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C_1-6 alkyl group, a C_1-6 alkoxy group, a C_2-6 alkenyloxy group, a halo(C_1-6 alkyl) group, a hydroxy(C_1-6 alkyl) group, a carboxy group, a C_2-7 alkoxy carbonyl group, a cyano group and a nitro group, or a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom and a C_1-6 alkyl group;

X represents a single bond, an oxygen atom or a sulfur atom;

Y represents a C_1-6 alkylene group which may be substituted by a hydroxy group or a C_2-6 alkenylene group;

Z represents $-\text{R}^{\text{B}}$, $-\text{COR}^{\text{C}}$, $-\text{SO}_2\text{R}^{\text{C}}$, $-\text{CON}(\text{R}^{\text{D}})\text{R}^{\text{E}}$, $-\text{SO}_2\text{NHR}^{\text{F}}$ or $-\text{C}(=\text{NR}^{\text{G}})\text{N}(\text{R}^{\text{H}})\text{R}^{\text{I}}$;

R^{C} represents an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C_1-6 alkyl-

PRELIMINARY AMENDMENT

sulfonylamino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group and a C₁₋₆ alkyl group, or a C₁₋₆ alkyl group which may have the same or different 1 to 5 groups selected from the following substituent group (i);

R⁴, R^B, R^D, R^E and R^F are the same or different, and each represents a hydrogen atom, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group and a C₁₋₆ alkyl group, or a C₁₋₆ alkyl group which may have the same or different 1 to 5 groups selected from the following substituent group (i), or both of R⁴ and R^B bind together with the neighboring nitrogen atom to form a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C₁₋₆ alkyl group, an oxo group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋

PRELIMINARY AMENDMENT

6 alkyl) group and a C₁₋₆ alkylsulfonylamino-substituted (C₁₋₆ alkyl) group, or both of R^D and R^E bind together with the neighboring nitrogen atom to form a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C₁₋₆ alkyl group, an oxo group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group and a C₁₋₆ alkylsulfonylamino-substituted (C₁₋₆ alkyl) group;

R^G, R^H and R^I are the same or different, and each represents a hydrogen atom, a cyano group, a carbamoyl group, a C₂₋₇ acyl group, a C₂₋₇ alkoxy carbonyl group, an aryl(C₂₋₇ alkoxy carbonyl) group, a nitro group, a C₁₋₆ alkylsulfonyl group, a sulfamide group, a carbamimidoyl group, or a C₁₋₆ alkyl group which may have the same or different 1 to 5 groups selected from the following substituent group (i), or both of R^G and R^H bind to form an ethylene group, or both of R^H and R^I bind together with the neighboring nitrogen atom to form a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C₁₋₆ alkyl group, an oxo

PRELIMINARY AMENDMENT

group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group and a C₁₋₆ alkylsulfonylamino-substituted (C₁₋₆ alkyl) group;

R³, R⁵ and R⁶ are the same or different, and each represents a hydrogen atom, a halogen atom, a C₁₋₆ alkyl group or a C₁₋₆ alkoxy group; and

substituent group (i) consists of a hydroxy group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylthio group, an amino group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C₁₋₆ alkyl)ureido group, a mono or di(C₁₋₆ alkyl)sulfamide group, a C₂₋₇ acylamino group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkylsulfonyl group, a carboxy group, a C₂₋₇ alkoxycarbonyl group, -CON(R^J)R^K in which R^J and R^K are the same or different, and each represents a hydrogen atom or a C₁₋₆ alkyl group which may have the same or different 1 to 3 substituents selected from the group consisting of a hydroxy group, an amino group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, an ureido group, a mono or di(C₁₋₆

PRELIMINARY AMENDMENT

alkyl)ureido group, a C₂₋₇ acylamino group, a C₁₋₆ alkyl-sulfonylamino group and a carbamoyl group, or both of R^J and R^K bind together with the neighboring nitrogen atom to form a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C₁₋₆ alkyl group, an oxo group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group and a C₁₋₆ alkylsulfonylamino-substituted (C₁₋₆ alkyl) group, an aryl(C₁₋₆ alkoxy) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group on the ring, an aryl(C₁₋₆ alkylthio) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group on the ring, a C₃₋₇ cycloalkyl group, a C₂₋₆ heterocycloalkyl group, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkyl group and a

PRELIMINARY AMENDMENT

C₁₋₆ alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group and a C₁₋₆ alkyl group, a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C₁₋₆ alkyl group, an oxo group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group and a C₁₋₆ alkylsulfonylamino-substituted (C₁₋₆ alkyl) group, and a C₁₋₄ aromatic cyclic amino group which may have a C₁₋₆ alkyl group as a substituent, or a pharmaceutically acceptable salt thereof.

2. (original): A pyrazole derivative claimed in claim 1, wherein R⁴ represents a hydrogen atom, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group and a C₁₋₆ alkyl group, or a C₁₋₆ alkyl

PRELIMINARY AMENDMENT

group which may have the same or different 1 to 5 groups selected from the following substituent group (i); R^B represents an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group and a C₁₋₆ alkyl group, or a C₁₋₆ alkyl group which may have the same or different 1 to 5 groups selected from the following substituent group (i); R^C represents an aryl group which has the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group and a C₁₋₆ alkyl group, or a C₁₋₆ alkyl group which has the same or different 1 to 5 groups selected from the following substituent group (i); and

PRELIMINARY AMENDMENT

substituent group (i) consists of a hydroxy group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylthio group, an amino group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, an ureido group, a sulfamide group, a mono or di(C₁₋₆ alkyl)ureido group, a mono or di(C₁₋₆ alkyl)sulfamide group, a C₂₋₇ acylamino group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkylsulfonyl group, a carboxy group, a C₂₋₇ alkoxycarbonyl group, -CON(R^J)R^K in which R^J and R^K are the same or different, and each represents a hydrogen atom or a C₁₋₆ alkyl group which may have the same or different 1 to 3 substituents selected from the group consisting of a hydroxy group, an amino group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, an ureido group, a mono or di(C₁₋₆ alkyl)ureido group, a C₂₋₇ acylamino group, a C₁₋₆ alkylsulfonylamino group and a carbamoyl group, or both of R^J and R^K bind together with the neighboring nitrogen atom to form a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C₁₋

PRELIMINARY AMENDMENT

6 alkyl group, an oxo group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group and a C₁₋₆ alkylsulfonylamino-substituted (C₁₋₆ alkyl) group, an aryl(C₁₋₆ alkoxy) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group on the ring, an aryl(C₁₋₆ alkylthio) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group on the ring, a C₃₋₇ cycloalkyl group, a C₂₋₆ heterocycloalkyl group, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group and a C₁₋₆ alkyl group, a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C₁₋₆ alkyl

PRELIMINARY AMENDMENT

group, an oxo group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group and a C₁₋₆ alkylsulfonylamino-substituted (C₁₋₆ alkyl) group, and a C₁₋₄ aromatic cyclic amino group which may have a C₁₋₆ alkyl group as a substituent, or a pharmaceutically acceptable salt thereof.

3. (original): A pyrazole derivative claimed in claim 2, wherein Z represents -R^B; R^B represents an aryl group which has the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group and a C₁₋₆ alkyl group, or a C₁₋₆ alkyl group which has the same or different 1 to 5 groups selected from the following substituent group (i); and

substituent group (i) consists of a hydroxy group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylthio group, an amino group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino

PRELIMINARY AMENDMENT

group, an ureido group, a sulfamide group, a mono or di(C₁₋₆ alkyl)ureido group, a mono or di(C₁₋₆ alkyl)sulfamide group, a C₂₋₇ acylamino group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkylsulfonyl group, a carboxy group, a C₂₋₇ alkoxy carbonyl group, -CON(R^J)R^K in which R^J and R^K are the same or different, and each represents a hydrogen atom or a C₁₋₆ alkyl group which may have the same or different 1 to 3 substituents selected from the group consisting of a hydroxy group, an amino group, a mono or di(C₁₋₆ alkyl)amino group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group, an ureido group, a mono or di(C₁₋₆ alkyl)ureido group, a C₂₋₇ acylamino group, a C₁₋₆ alkylsulfonylamino group and a carbamoyl group, or both of R^J and R^K bind together with the neighboring nitrogen atom to form a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C₁₋₆ alkyl group, an oxo group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group and a C₁₋₆ alkylsulfonylamino-

PRELIMINARY AMENDMENT

substituted (C₁₋₆ alkyl) group, an aryl(C₁₋₆ alkoxy) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group on the ring, an aryl(C₁₋₆ alkylthio) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group on the ring, a C₃₋₇ cycloalkyl group, a C₂₋₆ heterocycloalkyl group, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group, an amino group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group and a C₁₋₆ alkyl group, a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group, a carbamoyl group, a C₁₋₆ alkyl group, an oxo group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group and a C₁₋₆ alkylsulfonylamino-substituted (C₁₋₆

PRELIMINARY AMENDMENT

alkyl) group, and a C₁₋₄ aromatic cyclic amino group which may have a C₁₋₆ alkyl group as a substituent, or a pharmaceutically acceptable salt thereof.

4. (original): A pyrazole derivative claimed in claim 3, wherein R⁴ represents a hydrogen atom; R^B represents a C₁₋₆ alkyl group which has the same or different 1 to 5 groups selected from the following substituent group (iA); and

substituent group (iA) consists of a hydroxy group, an amino group, a mono or di(C₁₋₆ alkyl)amino group, a carboxy group, a C₂₋₇ alkoxy carbonyl group and -CON(R^{JA})R^{KA} in which R^{JA} and R^{KA} are the same or different, and each represents a hydrogen atom or a C₁₋₆ alkyl group which may have the same or different 1 to 3 substituents selected from the group consisting of a hydroxy group, an amino group, a mono or di(C₁₋₆ alkyl)amino group and a carbamoyl group, or both of R^{JA} and R^{KA} bind together with the neighboring nitrogen atom to form a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a C₁₋₆ alkyl group and a hydroxy(C₁₋₆ alkyl) group,

PRELIMINARY AMENDMENT

or a pharmaceutically acceptable salt thereof.

5. (original): A pyrazole derivative claimed in claim 4, wherein R^B represents a C_{1-6} alkyl group which has a carbamoyl group, or a pharmaceutically acceptable salt thereof.

6. (original): A pyrazole derivative claimed in claim 2, wherein Z represents $-\text{CON}(R^D)R^E$, or a pharmaceutically acceptable salt thereof.

7. (original): A pyrazole derivative claimed in claim 6, wherein R^D represents a hydrogen atom; R^E represents a C_{1-6} alkyl group which has the same or different 1 to 5 groups selected from the following substituent group (iB); and substituent group (iB) consists of a hydroxy group, an amino group, a mono or di(C_{1-6} alkyl)amino group and $-\text{CON}(R^{JB})R^{KB}$ in which R^{JB} and R^{KB} are the same or different, and each represents a hydrogen atom, a C_{1-6} alkyl group which may have the same or different 1 to 3 substituents selected from the group consisting of a hydroxy group, an amino group and a mono or di(C_{1-6} alkyl)amino group, or pharmaceutically acceptable salt thereof.

PRELIMINARY AMENDMENT

8. (original): A pyrazole derivative claimed in claim 2, wherein Z represents $-C(=NR^G)N(R^H)R^I$, or pharmaceutically acceptable salt thereof.

9. (original): A pyrazole derivative claimed in claim 8, wherein R^G represents a hydrogen atom or a C₁₋₆ alkylsulfonyl group; R^H represents a hydrogen atom; R^I represents a hydrogen atom or a C₁₋₆ alkyl group which may have the same or different 1 to 5 groups selected from the following substituent group (iC); and substituent group (iC) consists of a hydroxy group, an amino group, a mono or di(C₁₋₆ alkyl)amino group, or pharmaceutically acceptable salt thereof.

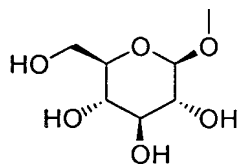
10. (original): A pyrazole derivative claimed in claim 2, wherein Z represents $-COR^C$; R^C represents a C₁₋₆ alkyl group which has a group selected from the following substituent group (iD); and substituent group (iD) consists of an amino group and $-CON(R^{JC})R^{KC}$ in which both of R^{JC} and R^{KC} bind together with the neighboring nitrogen atom to form a C₂₋₆ cyclic amino group which

PRELIMINARY AMENDMENT

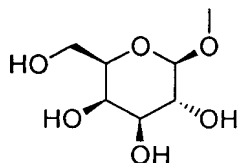
may have a substituent selected from the group consisting of a C₁₋₆ alkyl group and a hydroxy(C₁₋₆ alkyl) group, or pharmaceutically acceptable salt thereof.

11. (currently amended): A pyrazole derivative claimed in ~~any one of claims 1-10~~claim 1, wherein X represents a single bond or an oxygen atom; and Y represents an ethylene group or a trimethylene group, or pharmaceutically acceptable salt thereof.

12. (currently amended): A pyrazole derivative claimed in ~~any one of claims 1-11~~claim 1, wherein R¹ represents a hydrogen atom or a hydroxy(C₂₋₆ alkyl) group; T represents a group represented by the formula:



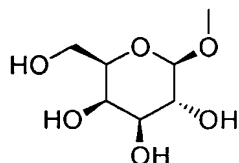
or a group represented by the formula:



Q represents a C₁₋₆ alkyl group or a halo(C₁₋₆ alkyl) group; and R³, R⁵ and R⁶ represent a hydrogen atom, or a pharmaceutically acceptable salt thereof.

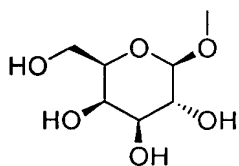
PRELIMINARY AMENDMENT

13. (currently amended): A pyrazole derivative claimed in ~~any one of claims 1-11~~claim 1 wherein one of Q and T represents a group represented by the formula:



the other represents a C₁₋₆ alkyl group, a halo(C₁₋₆ alkyl) group, a C₁₋₆ alkoxy-substituted (C₁₋₆ alkyl) group or a C₃₋₇ cycloalkyl group, or a pharmaceutically acceptable salt thereof.

14. (currently amended): A pyrazole derivative claimed in ~~claim 12 or 13~~claim 12, wherein T represents a group represented by the formula:



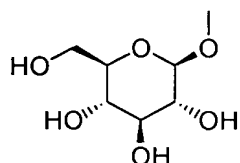
or a pharmaceutically acceptable salt thereof.

15. (currently amended): A pyrazole derivative claimed in ~~claim 12 or 14~~claim 12, wherein Q represents an isopropyl group, or a pharmaceutically acceptable salt thereof.

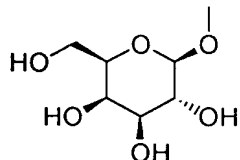
PRELIMINARY AMENDMENT

16. (currently amended): A prodrug of a pyrazole derivative claimed in ~~any one of claims 1-15~~claim 1 or a pharmaceutically acceptable salt thereof.

17. (original): A prodrug claimed in claim 16, wherein T represents a group represented by the formula:



or a group represented by the formula:

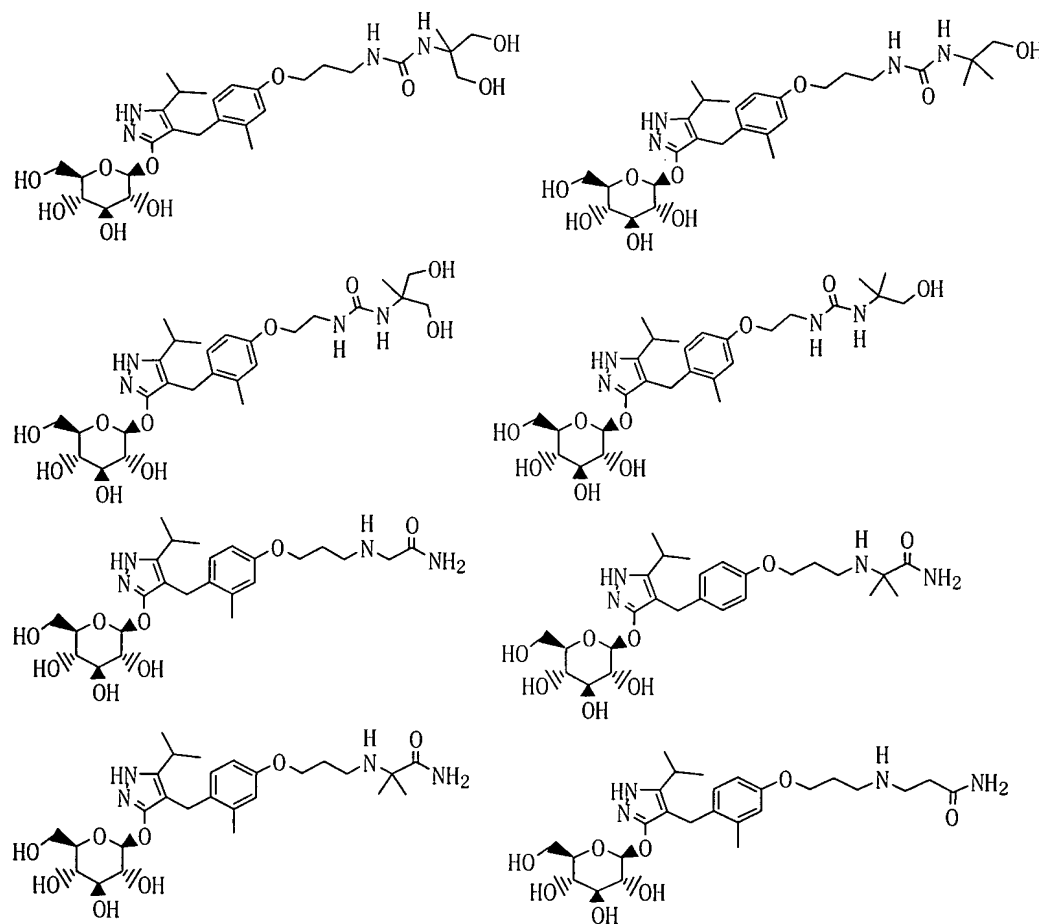


in which the hydroxy group at the 4-position is substituted by a glucopyranosyl group or a galactopyranosyl group, or the hydroxy group at the 6-position is substituted by a glucopyranosyl group, a galactopyranosyl group, a C₂₋₇ acyl group, a C₁₋₆ alkoxy-substituted (C₂₋₇ acyl) group, a C₂₋₇ alkoxycarbonyl-substituted (C₂₋₇ acyl) group, a C₂₋₇ alkoxycarbonyl group, an

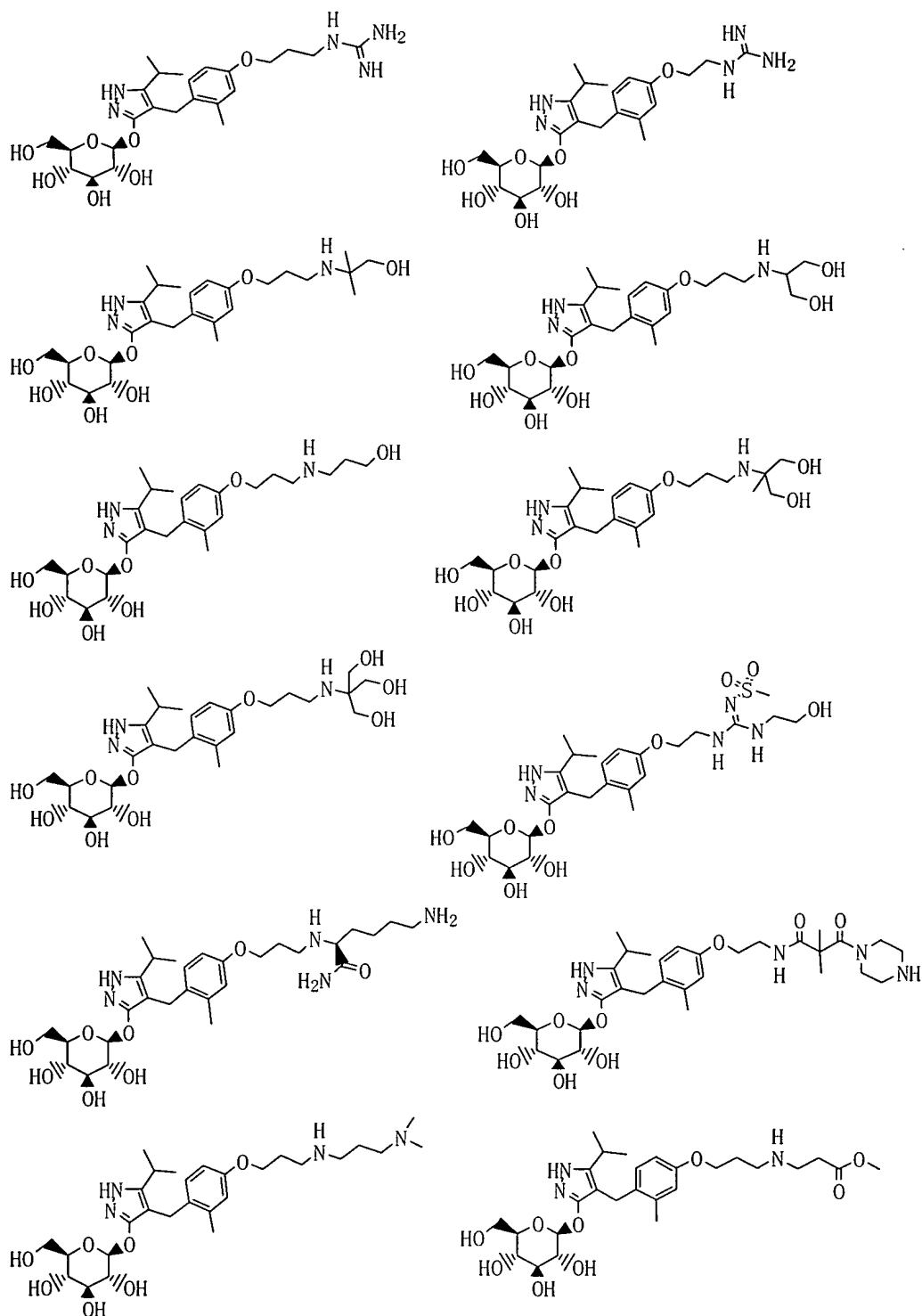
PRELIMINARY AMENDMENT

aryl(C₂₋₇ alkoxy carbonyl) group or a C₁₋₆ alkoxy-substituted (C₂₋₇ alkoxy carbonyl) group.

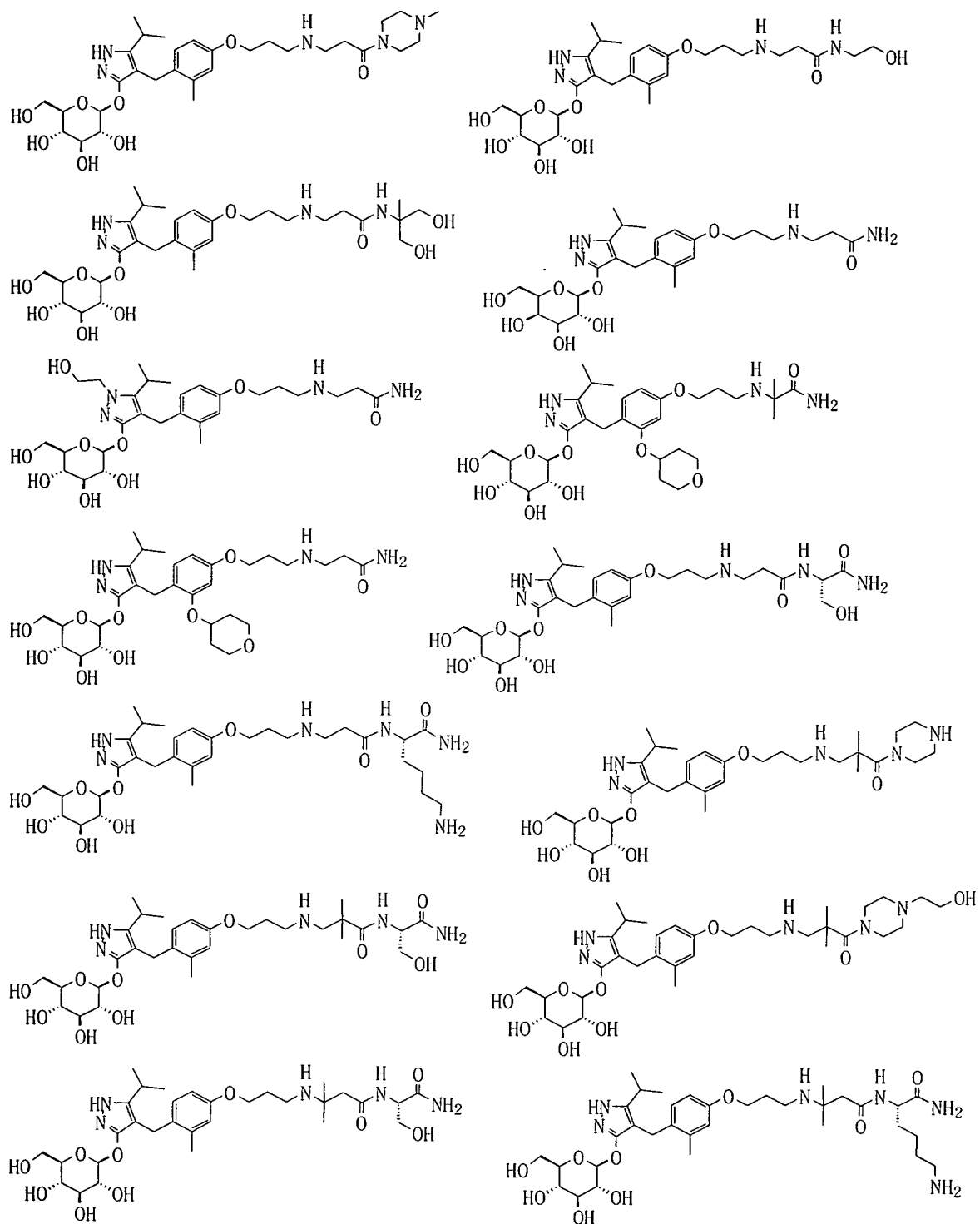
18. (currently amended): A pyrazole derivative as claimed in claim 1, which is a compound selected from the following group and pharmaceutically acceptable salts thereof[[.]]



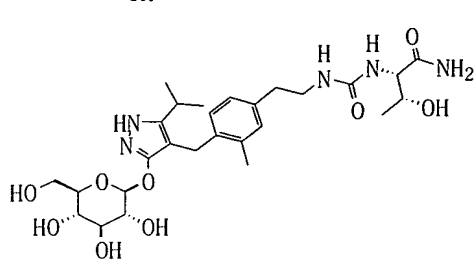
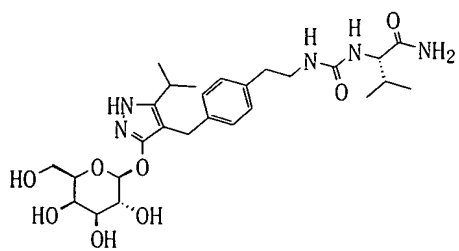
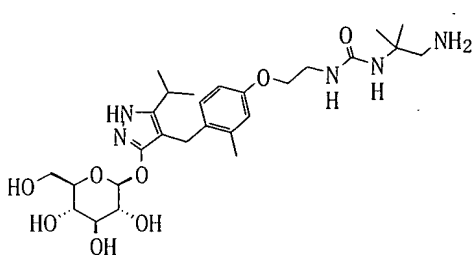
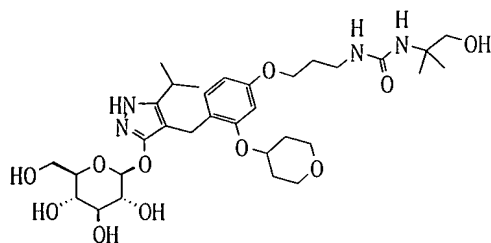
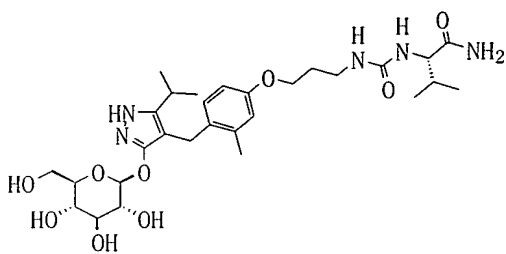
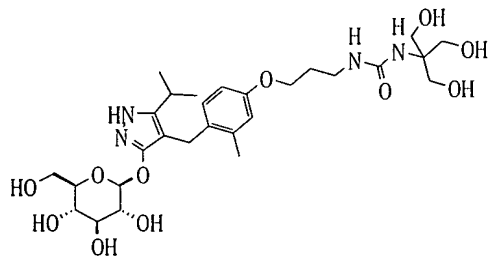
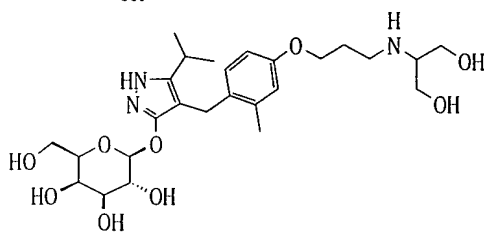
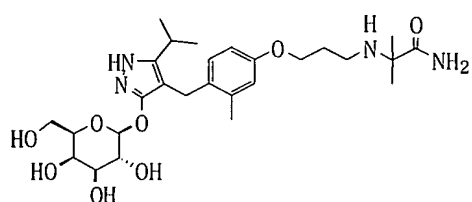
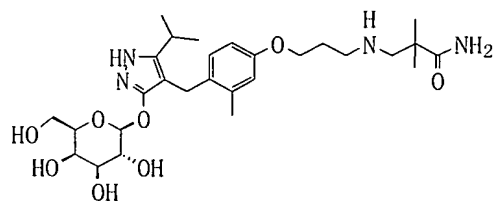
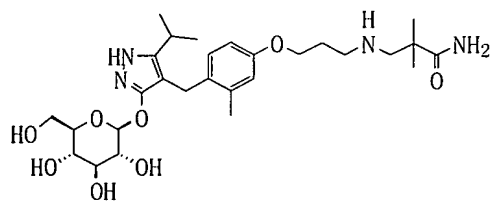
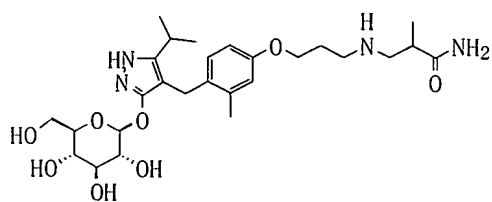
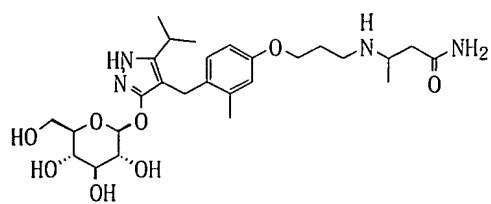
PRELIMINARY AMENDMENT



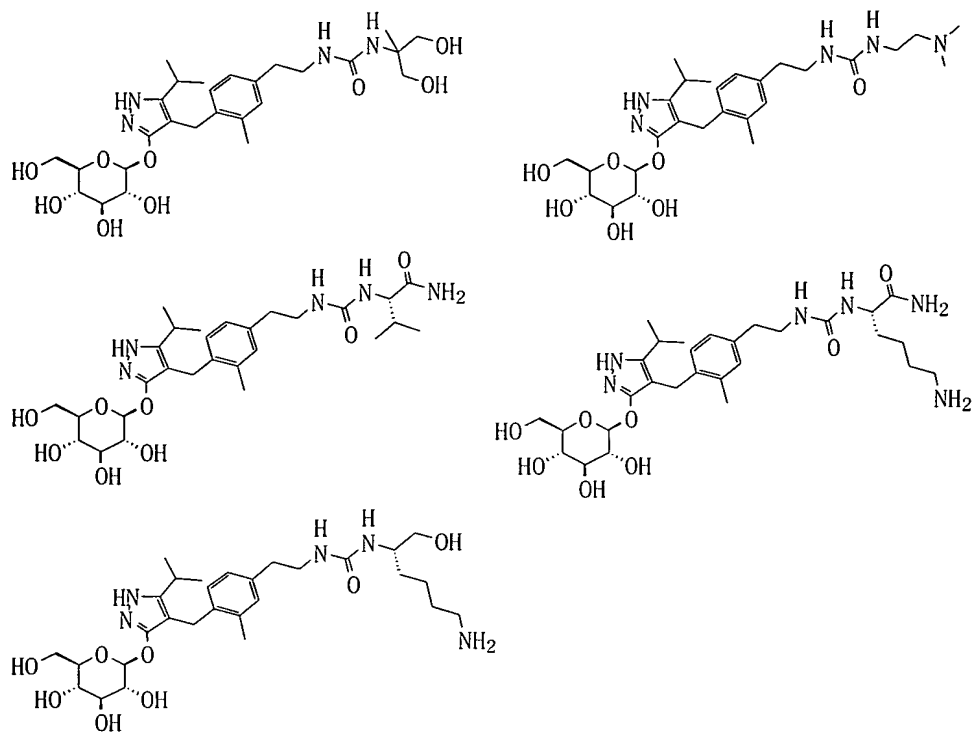
PRELIMINARY AMENDMENT



PRELIMINARY AMENDMENT



PRELIMINARY AMENDMENT



19. (currently amended): A pharmaceutical composition comprising as an active ingredient a pyrazole derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof.

20. (currently amended): A human SGLT1 inhibitor comprising as an active ingredient a pyrazole derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof.

21. (currently amended): An agent for inhibiting postprandial hyperglycemia comprising as an active ingredient a pyrazole

PRELIMINARY AMENDMENT

derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof.

22. (currently amended): An agent for the prevention or treatment of a disease associated with hyperglycemia, which comprises as an active ingredient a pyrazole derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof.

23. (original): An agent for the prevention or treatment claimed in claim 22, wherein the disease associated with hyperglycemia is a disease selected from the group consisting of diabetes, impaired glucose tolerance, impaired fasting glycemia, diabetic complications, obesity, hyperinsulinemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, lipid metabolism disorder, atherosclerosis, hypertension, congestive heart failure, edema, hyperuricemia and gout.

24. (currently amended): An agent for the inhibition of advancing impaired glucose tolerance or impaired fasting glycemia into diabetes in a subject, which comprises as an active ingredient a pyrazole derivative claimed in ~~any one of~~

PRELIMINARY AMENDMENT

~~claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof.

25. (currently amended): An agent for the prevention or treatment of a disease associated with the increase of blood galactose level, which comprises as an active ingredient a pyrazole derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof.

26. (original): An agent for the prevention or treatment claimed in claim 25, wherein the disease associated with the increase of blood galactose level is galactosemia.

27. (original): A pharmaceutical composition claimed in claim 19, wherein the dosage form is sustained release formulation.

28. (currently amended): An agent claimed in ~~any one of claims 20-26~~claim 20, wherein the dosage form is sustained release formulation.

29. (currently amended): A method for the prevention or treatment of a disease associated with hyperglycemia, which comprises administering an effective amount of a pyrazole

PRELIMINARY AMENDMENT

derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof.

30. (currently amended): A method for the inhibition of advancing impaired glucose tolerance or impaired fasting glycemia into diabetes in a subject, which comprises administering an effective amount of a pyrazole derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof.

31. (currently amended): A method for the prevention or treatment of a disease associated with the increase of blood galactose level, which comprises administering an effective amount of a pyrazole derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof.

32. (currently amended): A use of a pyrazole derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia.

PRELIMINARY AMENDMENT

33. (currently amended): A use of a pyrazole derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof for the manufacture of a pharmaceutical composition for the inhibition of advancing impaired glucose tolerance or impaired fasting glycemia into diabetes in a subject.

34. (currently amended): A use of a pyrazole derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof for the manufacture of a pharmaceutical composition for the prevention or treatment of a disease associated with the increase of blood galactose level.

35. (currently amended): A pharmaceutical combination which comprises (A) a pyrazole derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof, and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B

PRELIMINARY AMENDMENT

inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhidantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibric acid derivative, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-

PRELIMINARY AMENDMENT

transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

36. (currently amended): A method for the prevention or treatment of a disease associated with hyperglycemia or a disease associated with the increase of blood galactose level, which comprises administering an effective amount of (A) a pyrazole derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof, and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption

PRELIMINARY AMENDMENT

inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibric acid derivative, a β_3 -adrenoceptor agonist, an acyl-coenzyme A

PRELIMINARY AMENDMENT

cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

37. (currently amended): A method for the inhibition of advancing impaired glucose tolerance or impaired fasting glycemia into diabetes in a subject, which comprises administering an effective amount of (A) a pyrazole derivative

PRELIMINARY AMENDMENT

claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof, and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a

PRELIMINARY AMENDMENT

carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibric acid derivative, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer.

PRELIMINARY AMENDMENT

38. (currently amended): A use of (A) a pyrazole derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof, and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor

PRELIMINARY AMENDMENT

analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibric acid derivative, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture

PRELIMINARY AMENDMENT

of a pharmaceutical composition for the prevention or treatment of a disease associated with hyperglycemia or a disease associated with the increase of blood galactose level.

39. (currently amended): A use of (A) a pyrazole derivative claimed in ~~any one of claims 1-18~~claim 1, a pharmaceutically acceptable salt thereof or a prodrug thereof, and (B) at least one member selected from the group consisting of an insulin sensitivity enhancer, a glucose absorption inhibitor, a biguanide, an insulin secretion enhancer, a SGLT2 inhibitor, an insulin or insulin analogue, a glucagon receptor antagonist, an insulin receptor kinase stimulant, a tripeptidyl peptidase II inhibitor, a dipeptidyl peptidase IV inhibitor, a protein tyrosine phosphatase-1B inhibitor, a glycogen phosphorylase inhibitor, a glucose-6-phosphatase inhibitor, a fructose-bisphosphatase inhibitor, a pyruvate dehydrogenase inhibitor, a hepatic gluconeogenesis inhibitor, D-chiroinsitol, a glycogen synthase kinase-3 inhibitor, glucagon-like peptide-1, a glucagon-like peptide-1 analogue, a glucagon-like peptide-1 agonist, amylin, an amylin analogue, an amylin agonist, an aldose reductase inhibitor, an advanced glycation endproducts formation inhibitor, a protein kinase C inhibitor, a γ -aminobutyric acid receptor antagonist, a sodium channel

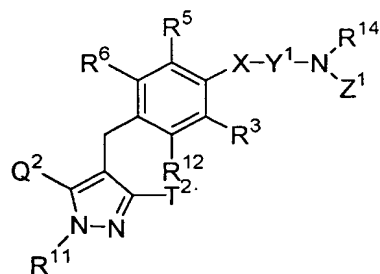
PRELIMINARY AMENDMENT

antagonist, a transcript factor NF- κ B inhibitor, a lipid peroxidase inhibitor, an *N*-acetylated- α -linked-acid-dipeptidase inhibitor, insulin-like growth factor-I, platelet-derived growth factor, a platelet-derived growth factor analogue, epidermal growth factor, nerve growth factor, a carnitine derivative, uridine, 5-hydroxy-1-methylhydantoin, EGB-761, bimoclomol, sulodexide, Y-128, antidiarrhoics, cathartics, a hydroxymethylglutaryl coenzyme A reductase inhibitor, a fibric acid derivative, a β_3 -adrenoceptor agonist, an acyl-coenzyme A cholesterol acyltransferase inhibitor, probcol, a thyroid hormone receptor agonist, a cholesterol absorption inhibitor, a lipase inhibitor, a microsomal triglyceride transfer protein inhibitor, a lipoxxygenase inhibitor, a carnitine palmitoyl-transferase inhibitor, a squalene synthase inhibitor, a low-density lipoprotein receptor enhancer, a nicotinic acid derivative, a bile acid sequestrant, a sodium/bile acid cotransporter inhibitor, a cholesterol ester transfer protein inhibitor, an appetite suppressant, an angiotensin-converting enzyme inhibitor, a neutral endopeptidase inhibitor, an angiotensin II receptor antagonist, an endothelin-converting enzyme inhibitor, an endothelin receptor antagonist, a diuretic agent, a calcium antagonist, a vasodilating antihypertensive

PRELIMINARY AMENDMENT

agent, a sympathetic blocking agent, a centrally acting antihypertensive agent, an α_2 -adrenoceptor agonist, an antiplatelets agent, a uric acid synthesis inhibitor, a uricosuric agent and a urinary alkalinizer, for the manufacture of a pharmaceutical composition for the inhibition of advancing impaired glucose tolerance or impaired fasting glycemia into diabetes in a subject.

40. (original): A pyrazole derivative represented by the general formula:



wherein

R¹¹ represents a hydrogen atom, a C₁₋₆ alkyl group, a C₂₋₆ alkenyl group, a hydroxy(C₂₋₆ alkyl) group which may have a protective group, a C₃₋₇ cycloalkyl group, a C₃₋₇ cycloalkyl-substituted (C₁₋₆ alkyl) group, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group which may have a

PRELIMINARY AMENDMENT

protective group, an amino group which may have a protective group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group, or an aryl(C₁₋₆ alkyl) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group on the ring;

one of Q² and T² represents a 2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyloxy group, a 2,3,4,6-tetra-O-pivaloyl-β-D-glucopyranosyloxy group, a 2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyloxy group or a 2,3,4,6-tetra-O-pivaloyl-β-D-galactopyranosyloxy group, while the other represents a C₁₋₆ alkyl group, a halo(C₁₋₆ alkyl) group, a C₁₋₆ alkoxy-substituted (C₁₋₆ alkyl) group or a C₃₋₇ cycloalkyl group;

R¹² represents a hydrogen atom, a halogen atom, a hydroxy group which may have a protective group, a C₁₋₆ alkyl group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylthio group, a halo(C₁₋₆ alkyl) group, a halo(C₁₋₆ alkoxy) group, a C₁₋₆ alkoxy-substituted (C₁₋₆ alkoxy) group, a C₃₋₇ cycloalkyl-substituted (C₂₋₆ alkoxy) group

PRELIMINARY AMENDMENT

or $-A-R^{1A}$ in which A represents a single bond, an oxygen atom, a methylene group, an ethylene group, $-OCH_2-$ or $-CH_2O-$; and R^{1A} represents a C_{3-7} cycloalkyl group, a C_{2-6} heterocycloalkyl group, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C_{1-6} alkyl group, a C_{1-6} alkoxy group, a C_{2-6} alkenyloxy group, a halo(C_{1-6} alkyl) group, a hydroxy(C_{1-6} alkyl) group which may have a protective group, a carboxy group which may have a protective group, a C_{2-7} alkoxycarbonyl group, a cyano group and a nitro group, or a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom and a C_{1-6} alkyl group;

X represents a single bond, an oxygen atom or a sulfur atom;

Y^1 represents a C_{1-6} alkylene group which may be substituted by a hydroxy group which may have a protective group, or a C_{2-6} alkenylene group;

PRELIMINARY AMENDMENT

Z^{1} represents $-R^{1B}$, $-COR^{1C}$, $-SO_2R^{1C}$, $-CON(R^{1D})R^{1E}$, $-SO_2NHR^{1F}$
or $-C(=NR^{1G})N(R^{1H})R^{1I}$;

R^{1C} represents an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C_{1-6} alkylsulfonylamino group, a C_{1-6} alkyl group and a C_{1-6} alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group which may have a protective group and a C_{1-6} alkyl group, or a C_{1-6} alkyl group which may have the same or different 1 to 5 groups selected from the following substituent group (ii);

R^{14} , R^{1B} , R^{1D} , R^{1E} and R^{1F} are the same or different, and each represents a hydrogen atom, an aryl group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C_{1-6} alkylsulfonylamino group, a C_{1-6} alkyl group and a C_{1-6} alkoxy group, a heteroaryl group which may have

PRELIMINARY AMENDMENT

a substituent selected from the group consisting of a halogen atom, an amino group which may have a protective group and a C₁₋₆ alkyl group, or a C₁₋₆ alkyl group which may have the same or different 1 to 5 groups selected from the following substituent group (ii), or both of R¹⁴ and R^{1B} bind together with the neighboring nitrogen atom to form a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group which may have a protective group, a carbamoyl group, a C₁₋₆ alkyl group, an oxo group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group which may have a protective group and a C₁₋₆ alkylsulfonylamino-substituted (C₁₋₆ alkyl) group, or both of R^{1D} and R^{1E} bind together with the neighboring nitrogen atom to form a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group which may have a protective group, a carbamoyl group, a C₁₋₆ alkyl group, an oxo group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group which may have a protective group and a C₁₋₆ alkylsulfonylamino-substituted (C₁₋₆ alkyl) group;

PRELIMINARY AMENDMENT

R^{1G} , R^{1H} and R^{1I} are the same or different, and each represents a hydrogen atom, a cyano group, a carbamoyl group, a C₂₋₇ acyl group, a C₂₋₇ alkoxy carbonyl group, an aryl(C₂₋₇ alkoxy carbonyl) group, a nitro group, a C₁₋₆ alkylsulfonyl group, a sulfamide group, a carbamimidoyl group, or a C₁₋₆ alkyl group which may have the same or different 1 to 5 groups selected from the following substituent group (ii), or both of R^{1G} and R^{1H} bind to form an ethylene group, or both of R^{1H} and R^{1I} bind together with the neighboring nitrogen atom to form a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group which may have a protective group, a carbamoyl group, a C₁₋₆ alkyl group, an oxo group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group which may have a protective group and a C₁₋₆ alkylsulfonylamino-substituted (C₁₋₆ alkyl) group;

R^3 , R^5 and R^6 are the same or different, and each represents a hydrogen atom, a halogen atom, a C₁₋₆ alkyl group or a C₁₋₆ alkoxy group; and

PRELIMINARY AMENDMENT

substituent group (ii) consists of a hydroxy group which may have a protective group, a C₁₋₆ alkoxy group, a C₁₋₆ alkylthio group, an amino group which may have a protective group, a mono or di(C₁₋₆ alkyl)amino group which may have a protective group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group which may have a protective group, an ureido group, a sulfamide group, a mono or di(C₁₋₆ alkyl)ureido group, a mono or di(C₁₋₆ alkyl)sulfamide group, a C₂₋₇ acylamino group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkylsulfonyl group, a carboxy group which may have a protective group, a C₂₋₇ alkoxycarbonyl group, -CON(R^{1J})R^{1K} in which R^{1J} and R^{1K} are the same or different, and each represents a hydrogen atom or a C₁₋₆ alkyl group which may have the same or different 1 to 3 substituents selected from the group consisting of a hydroxy group which may have a protective group, an amino group which may have a protective group, a mono or di(C₁₋₆ alkyl)amino group which may have a protective group, a mono or di[hydroxy(C₁₋₆ alkyl)]amino group which may have a protective group, an ureido group, a mono or di(C₁₋₆ alkyl)ureido group, a C₂₋₇ acylamino group, a C₁₋₆

PRELIMINARY AMENDMENT

alkylsulfonylamino group and a carbamoyl group, or both of R^{1J} and R^{1K} bind together with the neighboring nitrogen atom to form a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group which may have a protective group, a carbamoyl group, a C₁₋₆ alkyl group, an oxo group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group which may have a protective group and a C₁₋₆ alkylsulfonylamino-substituted (C₁₋₆ alkyl) group, an aryl(C₁₋₆ alkoxy) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group on the ring, an aryl(C₁₋₆ alkylthio) group which may have the same or different 1 to 3 substituents selected from the group consisting of a halogen atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group on the ring, a C₃₋₇ cycloalkyl group, a C₂₋₆ heterocycloalkyl group, an aryl group which may have the same or different 1 to 3 substituents

PRELIMINARY AMENDMENT

selected from the group consisting of a halogen atom, a hydroxy group which may have a protective group, an amino group which may have a protective group, a C₁₋₆ alkylsulfonylamino group, a C₁₋₆ alkyl group and a C₁₋₆ alkoxy group, a heteroaryl group which may have a substituent selected from the group consisting of a halogen atom, an amino group which may have a protective group and a C₁₋₆ alkyl group, a C₂₋₆ cyclic amino group which may have a substituent selected from the group consisting of a hydroxy group which may have a protective group, a carbamoyl group, a C₁₋₆ alkyl group, an oxo group, a carbamoyl(C₁₋₆ alkyl) group, a hydroxy(C₁₋₆ alkyl) group which may have a protective group and a C₁₋₆ alkylsulfonylamino-substituted (C₁₋₆ alkyl) group, and a C₁₋₄ aromatic cyclic amino group which may have a C₁₋₆ alkyl group as a substituent, or a salt thereof.